

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
20 January 2005 (20.01.2005)

PCT

(10) International Publication Number
WO 2005/005430 A2

(51) International Patent Classification⁷: **C07D 491/00**

(21) International Application Number:
PCT/EP2004/051259

(22) International Filing Date: 28 June 2004 (28.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
03405507.9 7 July 2003 (07.07.2003) EP

(71) Applicant (for all designated States except US): **CIBA SPECIALTY CHEMICALS HOLDING INC.** [CH/CH]; Klybeckstrasse 141, CH-4057 Basel (CH).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **RIGGS, Richard Lewis** [GB/GB]; 36 Springfield View, South Queensferry Edinburgh EH30 9RZ (GB). **WESTWOOD, Nicholas James** [GB/GB]; 4 Clayhills Grove, Perth Road Dundee DD2 1NF (GB). **SMITH, David Macdonald** [GB/GB]; 75 Kilrymont Road, St. Andrews Fife KY16 8DQ (GB). **MORTON, Colin** [GB/CH]; Breisacherstrasse 30, CH-4057 Basel (CH).

(74) Common Representative: **CIBA SPECIALTY CHEMICALS HOLDING INC.**; Patent Department, Klybeckstrasse 141, CH-4057 Basel (CH).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

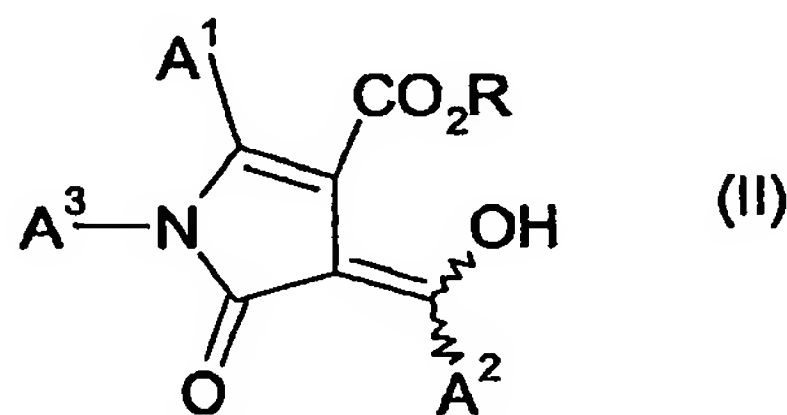
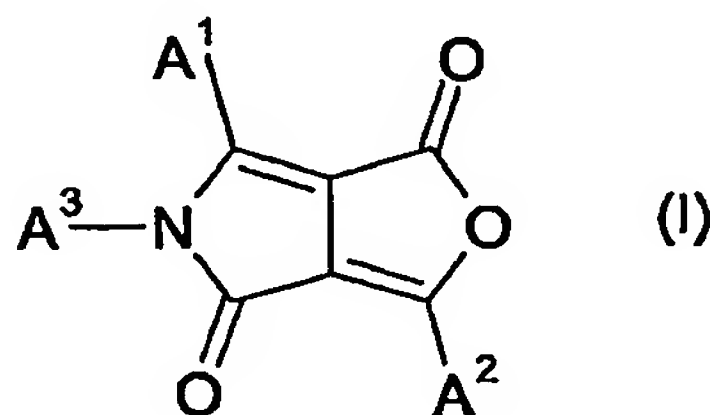
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PROCESS FOR THE PREPARATION OF FUROPYRROLES



(57) Abstract: The present invention relates to a process for the preparation of fuopyrroles of the general formula (I), comprising (a) heating a compound of the formula (II) under microwave irradiation optionally in the presence of an inert solvent, wherein A¹ and A² are C₁-C₁₈alkyl, C₂-C₁₈alkenyl, C₂-C₁₈alkynyl, C₅-C₈cycloalkyl, C₅-C₈cycloalkenyl, aryl or heteroaryl, A³ is hydrogen, C₁-C₁₈alkyl, cyanomethyl, Ar³, -CR³⁰R³¹-(CH₂)_m-Ar³ or Y-R³², wherein R³⁰ and R³¹ independently of each other stand for hydrogen or C₁-C₄alkyl, or phenyl which can be substituted up to three times with C₁-C₄alkyl, Ar³ stands for aryl, C₅-C₈cycloalkyl, C₅-C₈cycloalkenyl or heteroaryl, which can be substituted one to three times with C₁-C₈alkyl, C₁-C₈alkoxy, halogen or phenyl, which can be substituted with C₁-C₈alkyl or C₁-C₈alkoxy one to three times, and m stands for 0, 1, 2, 3 or 4, R is C₁-C₁₈alkyl, in particular C₁-C₄alkyl, aryl, in particular phenyl, or aralkyl, in particular benzyl, which can be substituted one to three times with C₁-C₈alkyl, C₁-C₈alkoxy, or halogen, Y is -C(O)-, -C(O)O-, -C(O)NH-, -SO₂NH- or -SO₂- and R³² is C₁-C₁₈alkyl, Ar³, or aralkyl. The fuopyrroles of the general formula (I) can be obtained in high yield and high purity by the process of the present invention.

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
20 January 2005 (20.01.2005)

PCT

(10) International Publication Number
WO 2005/005430 A3

(51) International Patent Classification⁷: **C07D 491/04**,
487/04

(21) International Application Number:
PCT/EP2004/051259

(22) International Filing Date: 28 June 2004 (28.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
03405507.9 7 July 2003 (07.07.2003) EP

(71) Applicant (for all designated States except US): **CIBA
SPECIALTY CHEMICALS HOLDING INC.** [CH/CH];
Klybeckstrasse 141, CH-4057 Basel (CH).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **RIGGS, Richard
Lewis** [GB/GB]; 36 Springfield View, South Queensferry
Edinburgh EH30 9RZ (GB). **WESTWOOD, Nicholas
James** [GB/GB]; 4 Clayhills Grove, Perth Road Dundee
DD2 1NF (GB). **SMITH, David Macdonald** [GB/GB];
75 Kilrymont Road, St. Andrews Fife KY16 8DQ
(GB). **MORTON, Colin** [GB/CH]; Breisacherstrasse 30,
CH-4057 Basel (CH).

(74) Common Representative: **CIBA SPECIALTY CHEM-
ICALS HOLDING INC.**; Patent Department, Klybeck-
strasse 141, CH-4057 Basel (CH).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

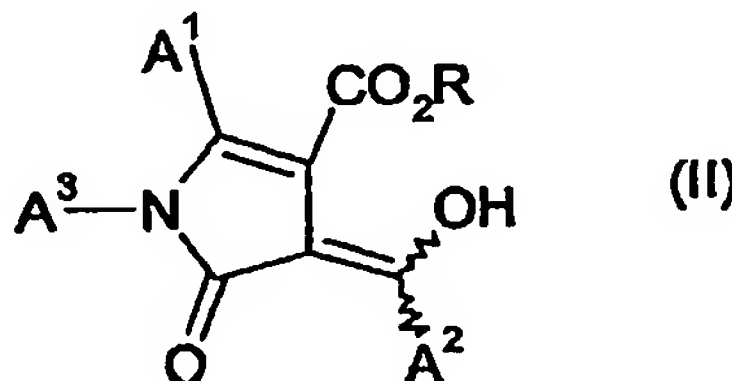
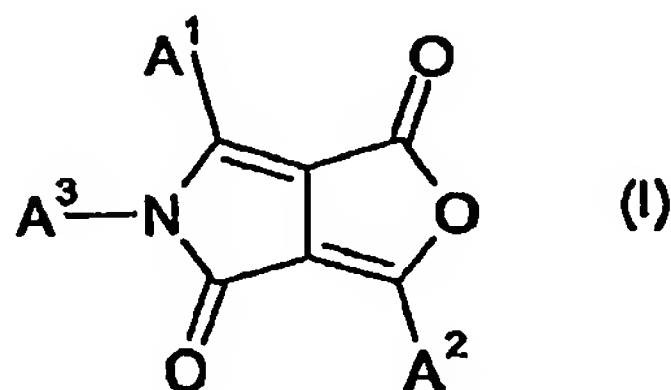
Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

(88) Date of publication of the international search report:
16 June 2005

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: PROCESS FOR THE PREPARATION OF FUOPYRROLES



(57) Abstract: The present
invention relates to a process for
the preparation of fuopyrroles of
the general formula (I), comprising
(a) heating a compound of the
formula (II) under microwave
irradiation optionally in the
presence of an inert solvent,
wherein A¹ and A² are C₁-C₁₈alkyl,
C₂-C₁₈alkenyl, C₂-C₁₈alkynyl,

C₅-C₈cycloalkyl, C₅-C₈cycloalkenyl, aryl or heteroaryl, A³ is hydrogen, C₁-C₁₈alkyl, cyanomethyl, Ar³, -CR³⁰R³¹-(CH₂)_m-Ar³ or
Y-R³², wherein R³⁰ and R³¹ independently of each other stand for hydrogen or C₁-C₄alkyl, or phenyl which can be substituted up to
three times with C₁-C₄alkyl, Ar³ stands for aryl, C₅-C₈cycloalkyl, C₅-C₈cycloalkenyl or heteroaryl, which can be substituted one to
three times with C₁-C₈alkyl, C₁-C₈alkoxy, halogen or phenyl, which can be substituted with C₁-C₈alkyl or C₁-C₈alkoxy one to three
times, and m stands for 0, 1, 2, 3 or 4, R is C₁-C₁₈alkyl, in particular C₁-C₄alkyl, aryl, in particular phenyl, or aralkyl, in particular
benzyl, which can be substituted one to three times with C₁-C₈alkyl, C₁-C₈alkoxy, or halogen, Y is -C(O)-, -C(O)O-, -C(O)NH-,
-SO₂NH- or -SO₂- and R³² is C₁-C₁₈alkyl, Ar³, or aralkyl. The fuopyrroles of the general formula (I) can be obtained in high yield
and high purity by the process of the present invention.